

-1-

JBH
8-5-04

PROCESS FOR CATALYZING THE OXIDATION OF ORGANIC COMPOUNDS

This application claims the benefit of provisional applications 60/148079 filed Aug. 10, 1999 and 60/150,101 filed Aug. 20, 1999.

FIELD OF THE INVENTION

5

The study of drug metabolism is an important part of the very expensive drug R&D process. In humans and other mammals, many drugs are metabolized through oxidative reactions catalyzed by heme- and cytochrome-containing enzymes. Cytochrome P450 monooxygenases, the main enzymes involved in drug oxidative metabolism, have in their active

10 site a heme moiety.

Synthetic metalloporphyrins can serve favorably to mimic oxidative catalytic reactions occurring in biological systems, with the aim of producing and identifying oxidative products of drug candidates, in quantities allowing *in vivo* studies.

15 PCT application WO 96/08455 discloses a process for the preparation of oxidative products using various combinations of a synthetic metalloporphyrin, a co-oxidizing reagent, and a solvent. The solvent is generally a CH₃CN/CH₂Cl₂ combination. One of the major inconveniences of processes of this type is the fact that they frequently provide incomplete yields of the sought-after individual products as well as low conversion percentages. As a 20 result, they can rarely be used in a reliable fashion in integrated discovery processes. In fact, their use is generally limited to experimental validation.

SUMMARY OF THE INVENTION

25 In accordance with the present invention, the inventor has unexpectedly found that the yields of oxidative reactions involving metalloporphyrins and which can be useful for the synthesis of metabolites of organic compounds of interest could be increased in a substantial manner through the use of an inert aprotic solvent.

30 Thus, one of the objects of the present invention is a process for the oxidation of organic compounds. This process comprises reacting the selected organic compound with catalytic amounts of a metalloporphyrin and of an oxidizing agent in the presence of an inert aprotic solvent and recovering the desired products obtained therefrom.

EXPRESS MAIL NO: EF378134476US